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Re: U.S. Application Serial No. 09/633,482

*Hon. Commissioner for Patents*

Please issue a corrected filing receipt to replace "09/431,058" with "09/431,059". A copy of the first pages of the patents upon which this application is based is enclosed.

Respectfully submitted,

By

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APPLICATION NUMBER	FILING DATE	GRP ART UNIT	FIL FEE REC'D	ATTY DOCKET NO	DRAWINGS	TOT CLAIMS	IND CLAIMS
09/633,482	08/07/2000	1616	656	M0014/7001	17	19	4

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**FILING RECEIPT**



\*OC000000005745591\*

Date Mailed: 02/08/2001

Receipt is acknowledged of this nonprovisional Patent Application. It will be considered in its order and you will be notified as to the results of the examination. Be sure to provide the U.S. APPLICATION NUMBER, FILING DATE, NAME OF APPLICANT, and TITLE OF INVENTION when inquiring about this application. Fees transmitted by check or draft are subject to collection. Please verify the accuracy of the data presented on this receipt. If an error is noted on this Filing Receipt, please write to the Office of Initial Patent Examination's Customer Service Center. Please provide a copy of this Filing Receipt with the changes noted thereon. If you received a "Notice to File Missing Parts" for this application, please submit any corrections to this Filing Receipt with your reply to the Notice. When the PTO processes the reply to the Notice, the PTO will generate another Filing Receipt incorporating the requested corrections (if appropriate).

**Applicant(s)**

David R. Elmaleh, Newton, MA ;  
Choi Sung-Woon, Canton, MA .

**Continuing Data as Claimed by Applicant**

THIS APPLICATION IS A CIP OF 09/431,058 11/01/1999

**Foreign Applications**

If Required, Foreign Filing License Granted 10/02/2000

**\*\* SMALL ENTITY \*\***

**Title**

Diagnostic and therapeutic piperazine and piperidine compounds and process

**Preliminary Class**

424

Data entry by : RORIE, DEANNA

Team : OIPE

Date: 02/08/2001



Patent Number: 06001330

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US006001330A

## United States Patent [19]

Elmaleh et al.

[11] Patent Number: 6,001,330

[45] Date of Patent: Dec. 14, 1999

[54] DIAGNOSTIC AND THERAPEUTIC  
ALKYLENEDIAMINE COMPOUNDS AND  
PROCESS

[76] Inventors: David R. Elmaleh, 38 Hartman Rd.,  
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[21] Appl. No.: 08/928,246

[22] Filed: Sep. 12, 1997

[51] Int. Cl.<sup>6</sup> A61K 51/00; A61M 36/14[52] U.S. Cl. 424/1.45; 564/305; 568/579;  
568/924; 424/1.11; 424/9.1; 424/1.65

[58] Field of Search 424/1.11, 1.45,  
424/1.65, 1.37, 9.1, 9.3, 9.4, 9.5, 9.6, 9.7;  
546/124, 125, 132, 127; 514/304; 564/1,  
305, 300; 568/579, 924; 534/7, 10-16

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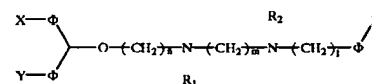
Dorota Mateka, et al.  
Development of Novel, Potent, and selective dopamine  
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BIS(4-Fluorophenyl)Methoxy[Ethyl]-4-(1-Phenylpropy-  
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Dopamine Transporter Imaging Agents, Biconjugate Chem.,  
1996,, 7, pp. 421-429.

Primary Examiner—S. Mark Clardy  
Assistant Examiner—Dameron Jones  
Attorney, Agent, or Firm—Paul J. Cook

## [57] ABSTRACT

Diamine compounds useful for treating neurodegenerated  
diseases characterized by the lack of dopamine neurons  
activity or for imaging the dopamine neurons are provided.  
The diamine compounds or serotonin are characterized by  
the formula:



wherein:

l, m and n can be the same or different and are an integer  
of 1 to 6; X, Y and Z can be the same or different and  
are hydrogen, halo, haloalkyl, (C<sub>1</sub>-C<sub>6</sub>) alkyl, aryl,  
(C<sub>1</sub>-C<sub>6</sub>) alkoxy, N-alkyl, (C<sub>2</sub>-C<sub>6</sub>) acyloxy, N-alkylene,  
—SH, or —SR, wherein R is from the same group as  
R<sub>1</sub> and R<sub>2</sub> and can be the same or different than R<sub>1</sub> and  
R<sub>2</sub>, amino, nitro, cyano, hydroxy, —C(=O) OR<sub>6</sub>,  
—C(=O) NR<sub>4</sub>, NR<sub>3</sub>R<sub>2</sub>, or S(=O)<sub>k</sub> R<sub>1</sub> wherein k is  
1 or 2, and R<sub>4</sub> and R<sub>6</sub> are independently hydrogen or  
(C<sub>1</sub>-C<sub>6</sub>) alkyl;

R<sub>1</sub>, and R<sub>2</sub> can be the same or different and are hydrogen,  
(C<sub>1</sub>-C<sub>6</sub>) alkyl, hydroxyalkyl or mercaptoalkyl,  
—C(=O) OR<sub>1</sub>, cyano, (C<sub>1</sub>-C<sub>6</sub>) alkenyl, (C<sub>2</sub>-C<sub>6</sub>)  
alkynyl, or 1, 2, 4-oxadiazol-5-yl optionally substituted  
at the 3-position by W wherein any (C<sub>1</sub>-C<sub>6</sub>) alkyl,  
(C<sub>1</sub>-C<sub>6</sub>) alkanolyl, (C<sub>2</sub>-C<sub>6</sub>) alkenyl or (C<sub>2</sub>-C<sub>6</sub>) alkynyl  
(C<sub>1</sub>-C<sub>6</sub>) alkyl, hydroxy (C<sub>1</sub>-C<sub>6</sub>) alkyl or mercapto  
(C<sub>1</sub>-C<sub>6</sub>) alkyl and optionally can be substituted by 1, 2  
or 3 Z;

W is (C<sub>1</sub>-C<sub>6</sub>) alkyl or phenyl, optionally substituted by 1,  
2 or 3 Z.

$\phi$  is phenyl, naphthyl, thienyl or pyridinyl.

13 Claims, 1 Drawing Sheet



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US006251363B1

(12) **United States Patent**  
Elmaleh et al.

(10) Patent No.: **US 6,251,363 B1**  
(45) Date of Patent: **\*Jun. 26, 2001**

(54) **DIAGNOSTIC AND THERAPEUTIC  
ALKYLENEDIAMINE COMPOUNDS AND  
PROCESS**

(75) Inventors: **David R. Elmaleh; Robert N. Hanson,**  
both of Newton; **Choi Sung-Woon,**  
Norwood, all of MA (US)

(73) Assignees: **The General Hospital; Northeastern  
University,** both of Boston, MA (US)

(\*) Notice: Subject to any disclaimer, the term of this  
patent is extended or adjusted under 35  
U.S.C. 154(b) by 0 days.

This patent is subject to a terminal dis-  
claimer.

(21) Appl. No.: **09/431,059**

(22) Filed: **Nov. 1, 1999**

### Related U.S. Application Data

(62) Division of application No. 08/928,246, filed on Sep. 12,  
1997, now Pat. No. 6,001,330.

(51) Int. Cl.<sup>7</sup> ..... **A61K 51/00; A61M 36/14**

(52) U.S. Cl. .... **424/1.45; 564/305; 568/579;**  
**568/924; 424/1.11; 424/9.1; 424/1.65**

(58) Field of Search ..... **424/1.11, 1.45,**  
**424/1.37, 1.65, 9.1, 9.3, 9.4, 9.5, 9.6, 9.7;**  
**546/124, 125, 132, 127; 514/304; 564/1,**  
**300, 305; 568/579, 924; 534/7, 10-16**

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6,001,330 \* 12/1999 Elmaleh et al. .... **424/1.45**

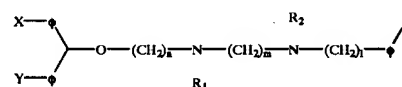
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Primary Examiner—Dameron L. Jones

(74) Attorney, Agent, or Firm—Paul J. Cook

(57) **ABSTRACT**

Diamine compounds useful for treating neurodegenerated diseases characterized by the lack of dopamine neurons activity or for imaging the dopamine neurons are provided. The diamine compounds or serotonin are characterized by the formula:



l, m and n can be the same or different and are an integer of 1 to 6; X, Y and Z can be the same or different and are hydrogen, halo, haloalkyl, (C<sub>1</sub>-C<sub>6</sub>) alkyl, aryl, (C<sub>1</sub>-C<sub>6</sub>) alkoxy, N-alkyl, (C<sub>2</sub>-C<sub>6</sub>) acyloxy, N-alkylene, —SH or —SR, wherein R is from the same group as R<sub>1</sub> and R<sub>2</sub> and can be the same or different than R<sub>1</sub> and R<sub>2</sub>, amino, nitro, cyano, hydroxy, —C(=O)OR<sub>6</sub>, —C(=O)NR<sub>3</sub>R<sub>4</sub>, NR<sub>3</sub>R<sub>2</sub>, or S(=O)<sub>k</sub>R<sub>7</sub> wherein k is 1 or 2, and R<sub>4</sub> to R<sub>6</sub> are independently hydrogen or (C<sub>1</sub>-C<sub>6</sub>) alkyl;

R<sub>1</sub> and R<sub>2</sub> can be the same or different and are hydrogen, (C<sub>1</sub>-C<sub>6</sub>) alkyl, hydroxyalkyl or mercaptoalkyl, —C(=O)OR<sub>1</sub>, cyano, (C<sub>1</sub>-C<sub>6</sub>) alkenyl, (C<sub>2</sub>-C<sub>6</sub>) alkynyl or 1, 2, 4-oxadiazol-5-yl optionally substituted at the 3-position by W wherein any (C<sub>1</sub>-C<sub>6</sub>) alkyl, (C<sub>1</sub>-C<sub>6</sub>) alkanol, (C<sub>2</sub>-C<sub>6</sub>) alkenyl or (C<sub>2</sub>-C<sub>6</sub>) alkynyl (C<sub>1</sub>-C<sub>6</sub>) alkyl, hydroxy (C<sub>1</sub>-C<sub>6</sub>) alkyl or mercapto (C<sub>1</sub>-C<sub>6</sub>) alkyl and optionally can be substituted by 1, 2 or 3 Z;

W is (C<sub>1</sub>-C<sub>6</sub>) alkyl or phenyl, optionally substituted by 1, 2 or 3 Z.

Φ is phenyl, naphthyl, thienyl or pyridinyl.

**8 Claims, 1 Drawing Sheet**